

Kathleen Fuller

Access DB# 48063

meg

# SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Rebecca Cook Examiner #: \_\_\_\_\_ Date: 8/1/01  
 Art Unit: 1614 Phone Number 30: 4724 Serial Number: 091272008  
 Mail Box and Bldg/Room Location: CU 1 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): Joseph Fleiner

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search compound of following claims  
 I, if possible  
 II please provide structure of compounds / claims  
 21  
 24  
 29  
 32 and use to treat alopecia

Thanks  
 Rebecca

## STAFF USE ONLY

Searcher: K. Fuller  
 Searcher Phone #: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: \_\_\_\_\_  
 Date Completed: 8/15/01  
 Searcher Prep & Review Time: 30  
 Clerical Prep Time: \_\_\_\_\_  
 Online Time: 60

## Type of Search

NA Sequence (#) \_\_\_\_\_  
 AA Sequence (#) \_\_\_\_\_  
 Structure (#) 4  
 Bibliographic \_\_\_\_\_  
 Litigation \_\_\_\_\_  
 Fulltext \_\_\_\_\_  
 Patent Family \_\_\_\_\_  
 Other \_\_\_\_\_

## Vendors and cost where applicable

STN ✓  
 Dialog \_\_\_\_\_  
 Questel/Orbit \_\_\_\_\_  
 Dr.Link \_\_\_\_\_  
 Lexis/Nexis \_\_\_\_\_  
 Sequence Systems \_\_\_\_\_  
 WWW/Internet \_\_\_\_\_  
 Other (specify) \_\_\_\_\_

Butch  
 overest

=> FILE REG

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STRUCTURE FILE UPDATES: 14 AUG 2001 HIGHEST RN 351405-63-5  
DICTIONARY FILE UPDATES: 14 AUG 2001 HIGHEST RN 351405-63-5

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

Please note that search-term pricing does apply when  
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Structure search limits have been increased. See HELP SLIMIT  
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=> FILE HCAPLUS

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FILE COVERS 1947 - 15 Aug 2001 VOL 135 ISS 8  
FILE LAST UPDATED: 14 Aug 2001 (20010814/ED)

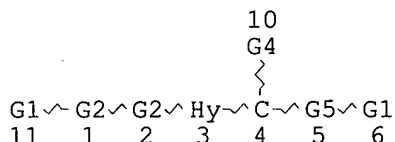
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published in CA from 1947 to 1966.

=> D QUE

L50	SCR 227
L52	SCR 1838
L54	SCR 1992
L56	STR



*Covers claims 21, 24, 28, 29  
and 32 (where V=N, will not  
run when V=CH or N)*

C≡G3  
@8 9

*3,728 structures*

VAR G1=AK/CY  
VAR G2=CH2/8  
VAR G3=O/S/CH2  
VAR G4=O/S  
VAR G5=O/N  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY HIQ AT 3  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L59 SCR 1607  
L61 3728 SEA FILE=REGISTRY SSS FUL L56 AND L50 AND L52 AND L54 AND L59  
L64 1067 SEA FILE=HCAPLUS ABB=ON L61  
L65 6 SEA FILE=HCAPLUS ABB=ON L64 AND (ALOPEC? OR ANTIALOPEC?)  
L66 1 SEA FILE=HCAPLUS ABB=ON L64 AND HAIR(4A)LOSS  
L67 6 SEA FILE=HCAPLUS ABB=ON L65 OR L66

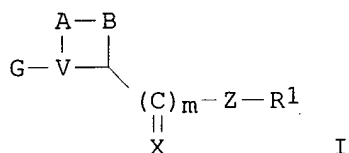
=> D L67 ALL 1-6 HITSTR

L67 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2001 ACS  
AN 2000:209809 HCAPLUS  
DN 132:237375  
TI Preparation of bridged heterocyclic derivatives for treatment of  
neurological and other disorders  
IN Li, Jia He; Limburg, David; Hamilton, Gregory S.; Steiner, Joseph P.  
PA Guilford Pharmaceuticals Inc., USA  
SO PCT Int. Appl., 503 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 27

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016603	A2	20000330	WO 1998-US25577	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				
KATHLEEN FULLER EIC1700 308-4290				

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 9919028 A1 20000410 AU 1999-19028 19981203  
 PRAI US 1998-101077 P 19980918  
 US 1998-159105 A 19980923  
 WO 1998-US25577 W 19981203  
 OS MARPAT 132:237375  
 GI



- AB Heterocyclic compds. I [A and B taken together form a satd., unsatd., or arom. heterocyclic or carbocyclic bridged ring moiety which contains one or more O, CR12, S, SO, SO2, N, NH or imino; V = CH, S, or N; X = O, CH2, or S; m = 0 or 1; G is an acyl, sulfonyl, or alkyl moiety; R1 = H, (un)substituted alkyl, cycloalkyl, a carboxylic acid, a bridged ring moiety, etc.; Z = CH2, alkyl, O, S, a direct bond, NH or imino, etc.] or their pharmaceutically acceptable salts, esters, or solvates were prepd. for the treatment of neurol. and vision disorders, **alopecia**, etc. Thus, (2S)-(1-oxo-5-phenylpentyl)-1-(3,3-dimethyl-1,2-dioxopentyl)pyrrolidine was prepd. from 1-chloro-4-phenylbutane, N-benzyl-L-proline Et ester, Me oxalyl chloride, and 1,1-dimethylpropylmagnesium chloride and shown to inhibit peptidyl prolyl isomerase with Ki = 31 nM.
- ST pyrrolidine acyl prepn neurol vision disorder; neurol disorder bridged heterocycle; vision disorder bridged heterocycle; pipecolate diphenylpentylthio prepn neurol vision disorder
- IT Proteins, specific or class  
 RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-12 (FK 506-binding protein, 12,000-mol.-wt.); prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT Nervous system  
 (amyotrophic lateral sclerosis; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT Nervous system  
 (disease; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT Hair preparations  
 (growth stimulants; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT **Alopecia**  
 Alzheimer's disease  
 Eye, disease  
 Immunosuppressants  
 Parkinson's disease  
 (prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT Amino acids, preparation  
 RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)
- IT Heterocyclic compounds

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Immunophilins

RL: MSC (Miscellaneous)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Eye, disease

(retina, ischemia; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT 222171-83-7P 222171-84-8P 222171-85-9P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT	73096-19-2P	141084-63-1P	152754-34-2P	152754-35-3P	152754-36-4P
	152754-38-6P	152754-42-2P	155668-51-2P	155668-53-4P	155668-86-3P
	157757-22-7P	186268-50-8P	186268-51-9P	186268-52-0P	186268-53-1P
	186268-54-2P	186268-56-4P	186268-57-5P	186268-58-6P	186268-63-3P
	186268-64-4P	186268-65-5P	186268-66-6P	186268-67-7P	186268-68-8P
	186452-05-1P	186452-06-2P	186452-07-3P	186452-08-4P	186452-09-5P
	186452-10-8P	186452-11-9P	186452-12-0P	186452-13-1P	186452-14-2P
	186452-15-3P	186452-16-4P	186452-17-5P	186452-18-6P	186452-19-7P
	186452-20-0P	186834-62-8P	186834-66-2P	186834-69-5P	186834-70-8P
	186834-71-9P	186834-74-2P	186834-75-3P	186834-76-4P	186834-77-5P
	186834-78-6P	186834-79-7P	186834-80-0P	186834-81-1P	186834-82-2P
	186834-83-3P	186834-84-4P	186834-85-5P	186834-86-6P	186834-87-7P
	186834-88-8P	205388-13-2P	205388-14-3P	205388-15-4P	205388-16-5P
	205388-17-6P	205388-20-1P	205388-22-3P	205388-23-4P	205388-24-5P
	205388-25-6P	205388-26-7P	205388-27-8P	205388-28-9P	205388-29-0P
	205388-30-3P	205388-31-4P	205388-32-5P	205388-33-6P	205388-35-8P
	205388-36-9P	205388-37-0P	205388-38-1P	205388-39-2P	205388-41-6P
	205388-42-7P	205388-43-8P	205388-44-9P	205388-47-2P	205388-48-3P
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	205388-55-2P	205388-57-4P	205388-58-5P	205388-59-6P	205388-61-0P
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	210048-17-2P	210048-19-4P	210048-20-7P	210048-21-8P	210048-22-9P
	210103-54-1P	210103-55-2P	210103-56-3P	210103-57-4P	210103-58-5P
	210103-59-6P	210103-60-9P	210103-61-0P	210103-62-1P	210103-63-2P
	212762-79-3P	217178-10-4P	217180-44-4P	217180-57-9P	217180-59-1P
	217180-90-0P	217186-52-2P	217186-53-3P	217186-54-4P	217186-55-5P
	217186-56-6P	217186-57-7P	222171-24-6P	222171-25-7P	222171-27-9P
	222171-28-0P	222171-29-1P	222171-30-4P	222171-31-5P	222171-32-6P
	222171-82-6P	250636-40-9P	251916-50-4P	251948-45-5P	251948-46-6P

**251953-27-2P 251953-29-4P 251954-82-2P 252720-21-1P**

261928-53-4P 261928-54-5P 261928-55-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT	152754-55-7	210048-30-9	210103-88-1	210103-92-7	212607-81-3
	222171-48-4	222171-57-5	222171-58-6	251950-42-2	251969-48-9
	<b>252770-38-0</b>	252770-39-1	258871-54-4		

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT 95076-93-0, Peptidyl prolyl isomerase

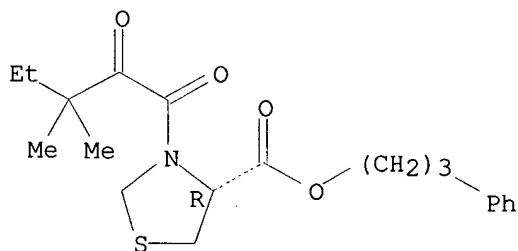
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

KATHLEEN FULLER EIC1700 308-4290

- other disorders)
- IT 62-56-6, Thiourea, reactions 86-81-7, 3,4,5-Trimethoxybenzaldehyde  
96-15-1, 2-Methylbutylamine 103-63-9, Phenethyl bromide 108-98-5,  
Phenyl mercaptan, reactions 122-97-4, 3-Phenyl-1-propanol 955-40-8,  
n-Benzyl-L-proline ethyl ester 1122-82-3, Cyclohexyl isothiocyanate  
2133-40-6, L-Proline methyl ester hydrochloride 2605-67-6, Methyl  
(triphenylphosphoranylidene)acetate 2859-67-8, 3-(3-Pyridyl)-1-propanol  
3173-53-3, Cyclohexyl isocyanate 4830-93-7, 1-Chloro-4-phenylbutane  
5406-18-8, 3-(p-Methoxyphenyl)-1-propanol 5781-53-3, Methyl oxalyl  
chloride 7531-52-4, L-Prolinamide 15761-39-4 23356-96-9, s +  
2-Pyrrolidinemethanol 26250-84-0 28276-08-6, 1,1-  
Dimethylpropylmagnesium chloride 32559-18-5, Methyl pipercolate  
hydrochloride 34592-47-7, L-Thioproline 222171-36-0  
RL: RCT (Reactant)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)
- IT 104-53-0P, Benzenepropanal 17486-86-1P 20329-96-8P 57293-19-3P  
69603-49-2P, 3-Pyridinepropanethiol 88537-44-4P 89113-44-0P  
139419-63-9P 186268-77-9P 186268-78-0P 205388-66-5P 205388-67-6P  
205388-68-7P 210048-37-6P 210048-38-7P 210103-98-3P 210103-99-4P  
217186-09-9P 217186-59-9P 217186-60-2P 217186-61-3P  
**222171-37-1P** 222171-38-2P 251917-76-7P 251953-31-8P  
251953-33-0P 251954-80-0P 261928-56-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)
- IT 30273-62-2P 53560-26-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)
- IT **251953-27-2P 251953-29-4P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)
- RN 251953-27-2 HCAPLUS  
CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-,  
3-phenylpropyl ester, (4R)- (9CI) (CA INDEX NAME)

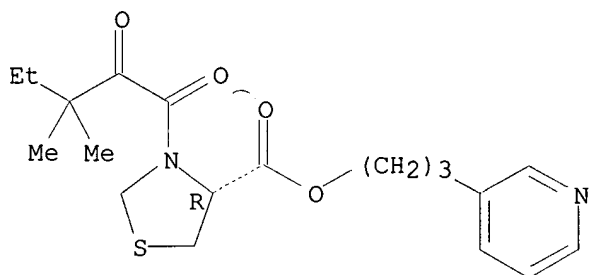
Absolute stereochemistry.



*Claim 28*

- RN 251953-29-4 HCAPLUS  
CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-,  
3-(3-pyridinyl)propyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Claim 28

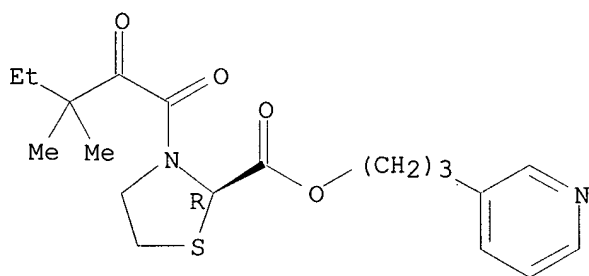
IT 252770-38-0

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)

RN 252770-38-0 HCAPLUS

CN 2-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-,  
3-(3-pyridinyl)propyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



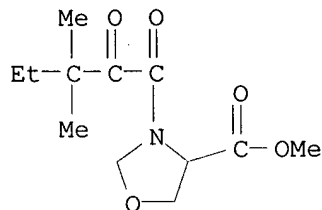
Claim 28

IT 222171-37-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of bridged heterocyclic derivs. for treatment of neurol. and  
other disorders)

RN 222171-37-1 HCAPLUS

CN 4-Oxazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-, methyl  
ester (9CI) (CA INDEX NAME)



L67 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:808660 HCAPLUS

DN 132:44998

TI N-linked sulfonamides of heterocyclic thioesters, their preparation, and  
their use in treating alopecia and promoting hair growth

IN Steiner, Joseph P.; Hamilton, Gregory S.

PA GPI Nil Holdings, Inc., USA

SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 869,426.

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CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K031-40  
 ICS A61K031-445  
 NCL 514424000  
 CC 1-12 (Pharmacology)  
 Section cross-reference(s): 27, 63

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6004993	A	19991221	US 1998-89375	19980603
	US 5945441	A	19990831	US 1997-869426	19970604
	ZA 9804621	A	19981204	ZA 1998-4621	19980529
	ZA 9804778	A	19981204	ZA 1998-4778	19980603
	ZA 9804783	A	19981204	ZA 1998-4783	19980603
	US 6239164	B1	20010529	US 1999-369860	19990809
PRAI	US 1997-869426	A2	19970604		
OS	MARPAT 132:44998				
AB	Pharmaceutical compns. and methods for treating <b>alopecia</b> and promoting hair growth are provided which use N-linked sulfonamides of heterocyclic thioesters (prepn. described).				
ST	sulfonamide heterocyclic thioester prepn <b>alopecia</b>				
IT	pharmaceutical; hair growth sulfonamide heterocyclic thioester prepn				
IT	Proteins, specific or class				
	RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP (FK 506-binding protein), FKBP-type immunophilin; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Proteins, specific or class				
	RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-12 (FK 506-binding protein, 12,000-mol.-wt.); N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Immunophilins				
	RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-type; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	<b>Alopecia</b>				
	Shampoos (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Sulfonamides				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Drug delivery systems (emulsions; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Hair preparations (growth stimulants; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Drug delivery systems (liqs.; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Drug delivery systems (lotions; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				
IT	Drug delivery systems (ointments, creams; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating <b>alopecia</b> and promoting hair growth)				



- IT Esters, biological studies  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (thio; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating **alopecia** and promoting hair growth)
- IT 210048-11-6P 210048-13-8P 210048-25-2P 222171-28-0P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating **alopecia** and promoting hair growth)
- IT 186452-09-5, GPI 1046 205388-16-5, GPI 1389 205388-30-3, GPI 1234  
 210048-11-6D, esters 210048-17-2 210048-19-4 210048-20-7  
 210048-21-8 210048-22-9 210048-25-2D, esters 210048-30-9, GPI 1312  
 210048-30-9D, esters 210103-88-1, GPI 1605 222171-24-6 222171-25-7  
 222171-27-9 222171-28-0D, esters 222171-29-1 222171-30-4  
 222171-31-5 222171-32-6 252720-21-1 **252770-38-0**, GPI 1572  
 252770-39-1, GPI 1511  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating **alopecia** and promoting hair growth)
- IT 104-53-0P, 3-Phenyl-1-propanal 17486-86-1P, 1,5-Diphenyl-3-pentanol  
 57293-19-3P 88537-44-4P 210048-37-6P 210048-38-7P 217186-63-5P  
 251917-77-8P 252720-22-2P 252720-23-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and reaction; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating **alopecia** and promoting hair growth)
- IT 62-56-6, Thiourea, reactions 98-09-9, Benzenesulfonyl chloride  
 98-59-9, p-Toluenesulfonyl chloride 103-63-9, 2-(Bromoethyl)benzene  
 122-97-4, 3-Phenyl-1-propanol 1939-99-7, .alpha.-Toluenesulfonyl chloride  
 5406-18-8, 3-(p-Methoxyphenyl)-1-propanol 15761-39-4  
 26250-84-0  
 RL: RCT (Reactant)  
 (reaction; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating **alopecia** and promoting hair growth)

RE.CNT 86

RE

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- (2) Anon; EP 12401 1980 HCAPLUS
- (3) Anon; EP 48159 1982 HCAPLUS
- (4) Anon; EP 50800 1982 HCAPLUS
- (5) Anon; EP 73143 1983 HCAPLUS
- (6) Anon; EP 88350 1983 HCAPLUS
- (7) Anon; ZA 9207782 1983 HCAPLUS
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- (12) Anon; WO 8809789 1988 HCAPLUS
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- (14) Anon; WO 8906234 1989 HCAPLUS
- (15) Anon; EP 0420707 1990 HCAPLUS
- (16) Anon; EP 0471135 1990 HCAPLUS
- (17) Anon; EP 352000 1990 HCAPLUS
- (18) Anon; EP 378318 1990 HCAPLUS
- (19) Anon; DE 3931051 1990 HCAPLUS
- (20) Anon; WO 9012805 1990 HCAPLUS
- (21) Anon; EP 0443983 1991 HCAPLUS
- (22) Anon; EP 0494005 1991 HCAPLUS
- (23) Anon; DE 4015255 1991 HCAPLUS
- (24) Anon; EP 405994 1991 HCAPLUS
- (25) Anon; EP 419049 1991 HCAPLUS

KATHLEEN FULLER EIC1700 308-4290

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(27) Anon; WO 9104985 1991 HCAPLUS  
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(29) Anon; JP 04-149166 1992 HCAPLUS  
(30) Anon; EP 0519819 1992 HCAPLUS  
(31) Anon; GB 2247456 1992 HCAPLUS  
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HCAPLUS  
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(76) Rinehart; US 5294603 1994 HCAPLUS  
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(78) Schreiber; US 5447915 1995 HCAPLUS  
(79) Shanklin; US 4593102 1986 HCAPLUS  
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(81) Sharpe; US 5703088 1997 HCAPLUS  
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(84) Takeuchi; US 5359138 1994 HCAPLUS  
(85) Winkley; US 4438031 1984 HCAPLUS  
(86) Zelle; US 5543423 1996 HCAPLUS  
IT 252770-38-0, GPI 1572

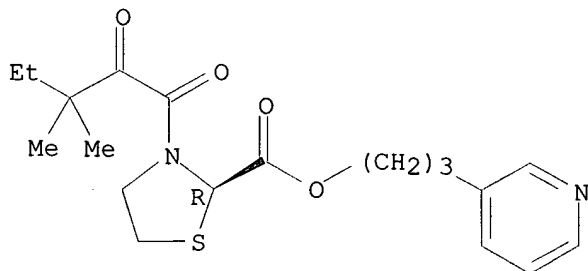
KATHLEEN FULLER EIC1700 308-4290

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(N-linked sulfonamides of heterocyclic thioesters, prepn., and use in  
treating **alopecia** and promoting hair growth)

RN 252770-38-0 HCAPLUS

CN 2-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-,  
3-(3-pyridinyl)propyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Claim 28*

L67 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:784085 HCAPLUS

DN 132:18814

TI Aza-heterocyclic compounds used to treat neurological disorders and  
**hair loss**

IN Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner,  
Joseph P.

PA Guilford Pharmaceuticals Inc., USA; Amgen, Inc.

SO PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D263-06

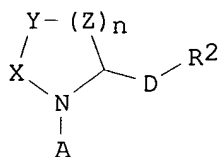
ICS A61K031-42; C07D277-06; A61K031-425; C07D207-16; A61K031-40;  
C07D211-60; A61K031-445

CC 1-12 (Pharmacology)

Section cross-reference(s): 28, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9962888	A1	19991209	WO 1998-US25574	19981203
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9917082	A1	19991220	AU 1999-17082	19981203
	BR 9815919	A	20010220	BR 1998-15919	19981203
	EP 1102756	A1	20010530	EP 1998-961867	19981203
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 2000006117	A	20010201	NO 2000-6117	20001201
PRAI	US 1998-87843	P	19980603		
	WO 1998-US25574	W	19981203		
OS	MARPAT 132:18814				
GI					



I

- AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R<sub>1</sub>C(O)C(O), R<sub>1</sub>C(O)C(S), R<sub>1</sub>SO<sub>2</sub>, (E) (R<sub>1</sub>)NC(O); R<sub>1</sub>, E = H, C<sub>1</sub>-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C<sub>1</sub>-10 (un)branched alkyl, ethylene, butylene; R<sub>2</sub> = carboxylic acid or carboxylic acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. contg. N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their prepn. and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating **alopecia** and promoting hair growth.
- ST azaheterocyclic deriv prepn neurol disorder **alopecia**;  
heterocyclic deriv neurol disorder **alopecia**; hair growth aza heterocyclic deriv; nerve damage aza heterocyclic deriv; neurodegenerative disease aza heterocyclic deriv
- IT Nervous system  
(amyotrophic lateral sclerosis; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Neurotrophic factors  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(brain-derived; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Nervous system  
(degeneration; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Nervous system  
(disease; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Drug delivery systems  
(emulsions; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Neurotrophic factors  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(glial-derived; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Hair preparations  
(growth stimulants; heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT **Alopecia**  
Anti-Alzheimer's agents  
Antiparkinsonian agents  
Drug delivery systems  
Nervous system agents  
Shampoos  
(heterocyclic compds. for treatment of neurol. disorder or **hair loss**)
- IT Ciliary neurotrophic factor  
Neurotrophic factors  
Platelet-derived growth factors  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(heterocyclic compds. for treatment of neurol. disorder or **hair**

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loss)

IT Brain, disease  
Spinal cord  
(injury; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Drug delivery systems  
(liqs.; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Drug delivery systems  
(lotions; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Regeneration, animal  
(nerve; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Drug delivery systems  
(ointments, creams; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Nerve, disease  
(peripheral neuropathy; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Nerve, disease  
(peripheral, injury; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Nerve  
(regeneration; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Brain, disease  
(stroke, brain damage-assocd.; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT 251952-75-7P  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT 61912-98-9, Insulin-like growth factor 61912-98-9D, Insulin-like growth factor, truncated derivs. 100391-96-6 106096-92-8, Acidic fibroblast growth factor 106096-93-9, Basic fibroblast growth factor 130939-66-1, Neurotropin 3 143375-33-1, Neurotropin 4 148499-03-0, Neurotropin 5

205388-68-7	251917-34-7	251917-35-8	251917-36-9	251917-37-0
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251951-42-5	251951-43-6	251951-44-7	251951-45-8	251951-46-9
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251952-48-4	251952-49-5	251952-50-8	251952-51-9	251952-52-0
251952-53-1	251952-54-2	251952-55-3	251952-56-4	251952-57-5

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251952-58-6	251952-60-0	<b>251952-61-1</b>	251952-62-2	
251952-63-3	251952-64-4	251952-65-5	251952-66-6	251952-67-7
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251953-54-5	251953-55-6	251953-56-7	251953-57-8	251953-58-9
251953-59-0	251953-60-3	251953-61-4	251953-62-5	251953-63-6
251953-64-7	251953-65-8	251953-66-9	251953-67-0	251953-68-1

RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic compds. for treatment of neurol. disorder or **hair loss**)

IT	251953-69-2	251953-70-5	251953-71-6	251953-72-7	251953-73-8
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	251953-94-3	251953-95-4	251953-96-5	251953-97-6	251953-98-7
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	251954-11-7	251954-12-8	251954-13-9	251954-14-0	251954-15-1
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	<b>251954-31-1</b>	251954-32-2	251954-33-3	251954-34-4	
	251954-35-5	251954-36-6	251954-37-7	251954-38-8	251954-39-9
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	251954-60-6	251954-61-7	251954-62-8	251954-63-9	251954-64-0
	251954-65-1	251954-66-2	251954-67-3	251954-68-4	251954-69-5
	251954-70-8	251954-71-9	251954-72-0	251954-73-1	251954-74-2
	251954-75-3	251954-78-6	251954-79-7	251954-83-3	251954-84-4
	251954-85-5	251954-86-6	251955-57-4		

RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic compds. for treatment of neurol. disorder or **hair loss**)

IT 251954-80-0P 251954-81-1P 251954-82-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction; heterocyclic compds. for treatment of neurol.  
disorder or **hair loss**)

IT 5781-53-3, Methyl oxalyl chloride 28276-08-6 222171-36-0

RL: RCT (Reactant)

(reaction; heterocyclic compds. for treatment of neurol. disorder or  
**hair loss**)

RE.CNT 6

RE

(1) Guilford Pharm Inc; WO 9640633 A 1996 HCAPLUS

(2) Guilford Pharm Inc; WO 9855090 A 1998 HCAPLUS

KATHLEEN FULLER EIC1700 308-4290

- (3) Mitsubishi Chem Ind; EP 0006633 A 1980 HCAPLUS  
 (4) Mitsubishi Chem Ind Ltd; JP 56061390 A 1981  
 (5) Ono Pharmaceutical Co; EP 0769498 A 1997 HCAPLUS  
 (6) Vertex Pharma; WO 9200278 A 1992 HCAPLUS

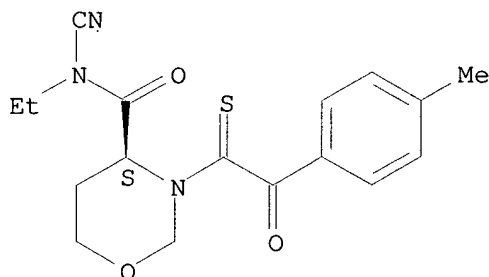
IT 251952-61-1 251954-31-1

RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (heterocyclic compds. for treatment of neurol. disorder or **hair**  
**loss**)

RN 251952-61-1 HCAPLUS

CN 2H-1,3-Oxazine-4-carboxamide, N-cyano-N-ethyltetrahydro-3-[2-(4-methylphenyl)-2-oxo-1-thioxoethyl]-, (4S)- (9CI) (CA INDEX NAME)

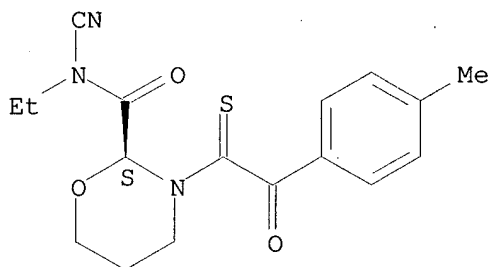
Absolute stereochemistry.



RN 251954-31-1 HCAPLUS

CN 2H-1,3-Oxazine-2-carboxamide, N-cyano-N-ethyltetrahydro-3-[2-(4-methylphenyl)-2-oxo-1-thioxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L67 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:783907 HCAPLUS

DN 132:26636

TI Heterocyclic ester and amides for hair growth compositions

IN Hamilton, Gregory S.; Steiner, Joseph P.

PA Guilford Pharmaceuticals Inc., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K007-48

ICS A61K031-425; A61K031-44; A61K031-415; A61K031-54; A61K031-535;  
 A61K031-495

CC 62-3 (Essential Oils and Cosmetics)

Section cross-reference(s): 28

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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KATHLEEN FULLER EIC1700 308-4290

PI WO 9962487 A1 19991209 WO 1998-US11250 19980603  
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
AU 9877170 A1 19991220 AU 1998-77170 19980603  
EP 1085853 A1 20010328 EP 1998-925156 19980603  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
PRAI WO 1998-US11250 A 19980603  
OS MARPAT 132:26636  
AB This invention relates to pharmaceutical compns. and methods for treating **alopecia** and promoting hair growth using heterocyclic esters or amides. Thus, 3-phenyl-1-propyl(2S)-1-(3,3-dimethyl-1,2-dioxopentyl)-2-(4-thiazolidine)carboxylate (I) was obtained by the reaction of L-thiopropine with Me oxalyl chloride followed by the reaction with 3-phenyl-1-propanol and subsequently with 1,1-dimethpropylmagnesium chloride. Thus, a lotion contained 95% EtOH 80.0, I 0.005, hinokitol 0.01, ethoxylated hardened castor oil 0.5, and water 19.0%, and perfume and dye qs.  
ST ester heterocyclic hair growth prepn; amide heterocyclic hair growth prepn  
IT Hair preparations  
(creams; heterocyclic ester and amides for hair growth compns.)  
IT Hair preparations  
(emulsions; heterocyclic ester and amides for hair growth compns.)  
IT Hair preparations  
(growth stimulants; heterocyclic ester and amides for hair growth compns.)  
IT **Alopecia**  
Shampoos  
(heterocyclic ester and amides for hair growth compns.)  
IT Amides, biological studies  
Esters, biological studies  
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(heterocyclic; heterocyclic ester and amides for hair growth compns.)  
IT Hair preparations  
(lotions; heterocyclic ester and amides for hair growth compns.)  
IT **251953-27-2P 251953-29-4P**  
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(heterocyclic ester and amides for hair growth compns.)  
IT 122-97-4, 3-Phenyl-1-propanol 2859-67-8, 3-Pyridinepropanol 5781-53-3, Methyl oxalyl chloride 28276-08-6 34592-47-7, L-Thiopropine  
RL: RCT (Reactant)  
(heterocyclic ester and amides for hair growth compns.)  
IT 251953-31-8P 251953-33-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(heterocyclic ester and amides for hair growth compns.)  
RE.CNT 7  
RE  
(1) Farmitalia Carlo Erba; WO 9403476 A 1994 HCAPLUS  
(2) Fujisawa Pharmaceutical Co Ltd; EP 0423714 A 1991 HCAPLUS  
(3) Goulet, M; US 5147877 A 1992 HCAPLUS  
(4) Guilford Pharmaceuticals Inc; WO 9813343 A 1998 HCAPLUS  
(5) Hallam, K; EP 0471135 A 1992 HCAPLUS  
(6) Merck & Co Inc; WO 9512398 A 1995 HCAPLUS  
(7) Vertex Pharmaceuticals Inc; WO 9200278 A 1992 HCAPLUS  
KATHLEEN FULLER EIC1700 308-4290



IT 251953-27-2P 251953-29-4P

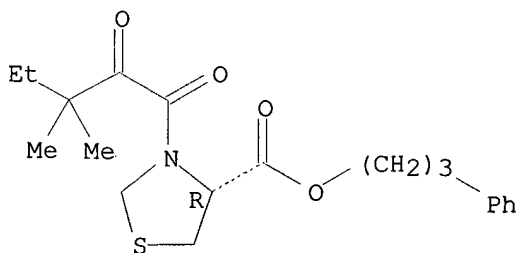
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocyclic ester and amides for hair growth compns.)

RN 251953-27-2 HCAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester, (4R)- (9CI) (CA INDEX NAME)

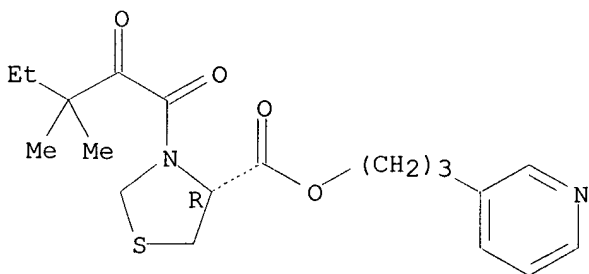
Absolute stereochemistry.



RN 251953-29-4 HCAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L67 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:613942 HCAPLUS

DN 131:243593

TI Preparation of peptides as inhibitors of caspases

IN Wannamaker, Marion W.; Bemis, Guy W.; Charifson, Paul S.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Wilson, Keith P.; Janetka, James W.; Davies, Robert J.; Grillot, Anne-Laure; Shi, Zhan; Forster, Cornelia J.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07K005-023

ICS A61K038-04; A61K031-47; A61K038-03; C07D401-12

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9947545	A2	19990923	WO 1999-US5919	19990319
	WO 9947545	A3	19991125		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
KATHLEEN FULLER EIC1700 308-4290

DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 9930986 A1 19991011 AU 1999-30986 19990319  
 BR 9909660 A 20001121 BR 1999-9660 19990319  
 EP 1064298 A2 20010103 EP 1999-912662 19990319  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 NO 2000004546 A 20001109 NO 2000-4546 20000912  
 PRAI US 1998-78770 A1 19980319  
 WO 1999-US5919 W 19990319  
 OS MARPAT 131:243593  
 AB Peptides R1NR2XCONR4CR52CONHY [Y = CH(CHO)CH2(CH2)mCOR7, (m = 0 or 1 and  
 R7 = OH or ester, NHOH) or cyclic lactol deriv. when R7 is OH; X = CR32 or  
 NR3 (R3 = H, an amino acid side chain, alkyl, cycloalkyl, aryl, etc.); R1  
 = H, R8, COR8, COCOR8, SO2R8, SOR8, CO2R8, CONHR8, SO2NHR8, SONHR8,  
 COCONHR8, COCH:CHR8, etc. (R8 = alkyl, cycloalkyl, aryl, etc.); R2 = H or  
 R2 and R3 may form a ring; R4 = H and R5 = H, amino acid side chain, R8,  
 etc. or R4 and R5 may form a ring] were prepd. as inhibitors of caspases.  
 Thus, p-AcNHC6H4CO-L-Val-L-Pro-NHCH(CHO)CH2CO2H-(S) was prepd. by the  
 solid-phase method and showed  $k_i < 10$  nm for inhibition of  
 interleukin-1.β. converting enzyme (ICE, caspase-1).  
 ST peptide prepn inhibitor caspase  
 IT Hepatitis  
 (B; prepn. of peptides as inhibitors of caspases)  
 IT Hepatitis  
 (C; prepn. of peptides as inhibitors of caspases)  
 IT Intestine, disease  
 (Crohn's; prepn. of peptides as inhibitors of caspases)  
 IT Sarcoma  
 (Kaposi's; prepn. of peptides as inhibitors of caspases)  
 IT Leukemia  
 (acute myelogenous; prepn. of peptides as inhibitors of caspases)  
 IT Dermatitis  
 (atopic; prepn. of peptides as inhibitors of caspases)  
 IT Stomach, disease  
 (autoimmune gastritis; prepn. of peptides as inhibitors of caspases)  
 IT Anemia (disease)  
 (autoimmune hemolytic anemia; prepn. of peptides as inhibitors of  
 caspases)  
 IT Thyroid gland, disease  
 (autoimmune thyroiditis; prepn. of peptides as inhibitors of caspases)  
 IT Leukemia  
 (chronic myelocytic; prepn. of peptides as inhibitors of caspases)  
 IT Disease, animal  
 (degenerative; prepn. of peptides as inhibitors of caspases)  
 IT Infection  
 (dengue; prepn. of peptides as inhibitors of caspases)  
 IT Kidney, disease  
 (glomerulonephritis; prepn. of peptides as inhibitors of caspases)  
 IT Transplant and Transplantation  
 (graft-vs.-host reaction; prepn. of peptides as inhibitors of caspases)  
 IT Intestine, disease  
 (inflammatory; prepn. of peptides as inhibitors of caspases)  
 IT Brain, disease  
 (injury; prepn. of peptides as inhibitors of caspases)  
 IT Diabetes mellitus  
 (insulin-dependent; prepn. of peptides as inhibitors of caspases)  
 IT Brain, disease

Heart, disease  
 (ischemia; prepn. of peptides as inhibitors of caspases)

IT Melanoma  
 (metastatic; prepn. of peptides as inhibitors of caspases)

IT Agranulocytosis  
 (neutropenia; prepn. of peptides as inhibitors of caspases)

IT Respiratory distress syndrome  
 (newborn; prepn. of peptides as inhibitors of caspases)

IT Pancreas, disease  
 (pancreatitis; prepn. of peptides as inhibitors of caspases)

IT Aging, animal  
 Alcoholism  
**Alopecia**  
 Alzheimer's disease  
 Anti-inflammatory agents  
 Antiasthmatics  
 Antiviral agents  
 Apoptosis  
 Autoimmune disease  
 Bone, disease  
 Encephalitis  
 Graves' disease  
 Leukemia  
 Lupus erythematosus  
 Multiple myeloma  
 Multiple sclerosis  
 Myasthenia gravis  
 Myelodysplastic syndromes  
 Osteoarthritis  
 Osteoporosis  
 Parkinson's disease  
 Psoriasis  
 Rheumatoid arthritis  
 Sepsis  
 Spinal muscular atrophy  
 Transplant rejection  
 (prepn. of peptides as inhibitors of caspases)

IT Peptides, preparation  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of peptides as inhibitors of caspases)

IT Connective tissue  
 (scleroderma; prepn. of peptides as inhibitors of caspases)

IT Shock (circulatory collapse)  
 (septic; prepn. of peptides as inhibitors of caspases)

IT Brain, disease  
 (stroke; prepn. of peptides as inhibitors of caspases)

IT Platelet (blood)  
 (thrombocytopenia; prepn. of peptides as inhibitors of caspases)

IT Intestine, disease  
 (ulcerative colitis; prepn. of peptides as inhibitors of caspases)

IT Hepatitis  
 (viral, chronic active; prepn. of peptides as inhibitors of caspases)

IT Fever and Hyperthermia  
 (yellow; prepn. of peptides as inhibitors of caspases)

IT 244133-06-0P 244133-10-6P 244133-13-9P 244133-14-0P 244133-15-1P  
 244133-18-4P 244133-21-9P 244133-26-4P 244133-30-0P 244133-33-3P  
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244134-67-6P	244134-94-9P			

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);  
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)

(prepn. of peptides as inhibitors of caspases)

IT 130275-78-4

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of peptides as inhibitors of caspases)

IT 244130-74-3P	244130-75-4P	244130-76-5P	244130-77-6P	244130-78-7P
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244133-27-5P 244133-31-1P 244134-48-3P 244134-49-4P 244134-52-9P  
 244134-55-2P 244134-58-5P 244134-59-6P 244134-60-9P 244134-61-0P  
 244134-62-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as inhibitors of caspases)

IT 244134-68-7P 244134-69-8P 244134-70-1P 244134-71-2P 244134-72-3P  
 244134-73-4P 244134-74-5P 244134-75-6P 244134-76-7P 244134-77-8P  
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 244134-83-6P 244134-84-7P 244134-85-8P 244134-86-9P 244134-87-0P  
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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as inhibitors of caspases)

IT 122191-40-6, Caspase-1 169592-56-7, Caspase-3 179241-78-2, Caspase-8  
 182762-08-9, Caspase-4

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)

(prepn. of peptides as inhibitors of caspases)

IT 56-41-7, L-Alanine, reactions 79-03-8, Propionyl chloride 96-41-3,  
 Cyclopentanol 98-98-6, 2-Pyridinecarboxylic acid 100-07-2, p-Anisoyl  
 chloride 100-49-2, Cyclohexylmethanol 103-80-0, Phenylacetyl chloride  
 108-12-3, Isovaleryl chloride 122-97-4, 3-Phenyl-1-propanol 400-76-0  
 486-73-7, 1-Isoquinolinecarboxylic acid 591-50-4, Iodobenzene  
 700-57-2, 2-Adamantanol 873-76-7, 4-Chlorobenzenemethanol 879-65-2,  
 2-Quinoxalinecarboxylic acid 944-43-4 2216-51-5 2486-71-7,  
 4-Amino-3-chlorobenzoic acid 3282-30-2, Pivaloyl chloride 3336-41-2  
 3637-61-4, Cyclopentylmethanol 4093-31-6 4254-29-9, 2-Indanol  
 4919-37-3, 3,5-Dimethyl-4-hydroxybenzoic acid 6223-83-2,  
 9-Oxo-4-fluorene-carboxylic acid 7206-70-4 10349-57-2,  
 6-Quinolinecarboxylic acid 15356-60-2 21553-46-8, 3,5-Dimethyl-4-  
 methoxybenzoic acid 21803-75-8, 4-Amino-3-chlorobenzonitrile  
 26250-84-0 33300-72-0 37908-97-7, 3,5-Dichloro-4-methoxybenzoic acid  
 41727-45-1 56961-25-2, 3,5-Dichloro-4-aminobenzoic acid 58452-00-9,  
 3-Benzyloxy-4-methoxybenzoic acid 60108-51-2 60772-67-0,  
 3-Isopropoxybenzoic acid 72228-75-2 74844-91-0 103321-53-5  
 116939-94-7 143305-32-2 146803-45-4D, resin-bound 147650-70-2  
 192760-02-4 193945-93-6 220184-67-8 233266-69-8 244132-28-3D,  
 resin-bound 244133-23-1 244133-24-2 244134-11-0 244134-14-3  
 244134-15-4 244134-17-6 244134-20-1 244134-21-2 244134-22-3  
 244134-23-4 244134-25-6 244134-26-7 244134-27-8 244134-31-4  
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RL: RCT (Reactant)

(prepn. of peptides as inhibitors of caspases)

IT 705-61-3P 7192-39-4P 24201-13-6P, 4-Acetylamino-5-chloro-2-  
 methoxybenzoic acid 27544-35-0P 72289-52-2P 74114-62-8P  
 102195-80-2P 132682-05-4P 147821-02-1P 147837-55-6P 171872-14-3P  
 192760-01-3P 192760-03-5P 195071-61-5P 195071-66-0P 203866-15-3P  
 203866-17-5P 203866-21-1P 208521-78-2P 244132-27-2P 244132-29-4P  
 244132-30-7P 244132-31-8P 244133-03-7P 244133-04-8P 244133-05-9P  
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 244135-00-0P 244135-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of peptides as inhibitors of caspases)

IT 97888-80-7P 244134-19-8P 244134-34-7P 244134-38-1P 244134-96-1P  
 244134-97-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of peptides as inhibitors of caspases)

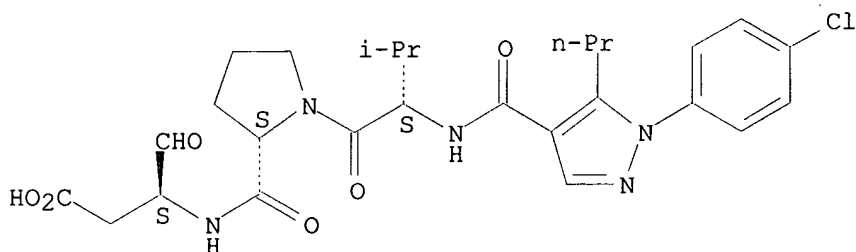
IT **244131-24-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptides as inhibitors of caspases)

RN 244131-24-6 HCAPLUS

CN L-Prolinamide, N-[[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]carbonyl]-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L67 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:804155 HCAPLUS

DN 130:52725

TI Preparation and use of pyrrolidines in hair growth compositions

IN Hamilton, Gregory S.; Steiner, Joseph P.

PA Guilford Pharmaceuticals Inc., USA

SO PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DT Patent

LA English

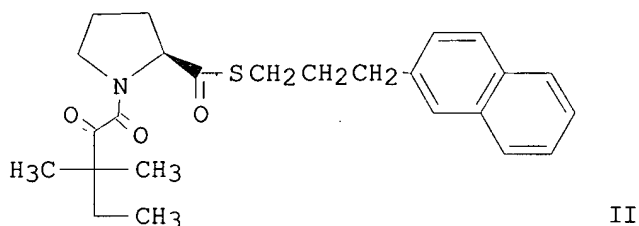
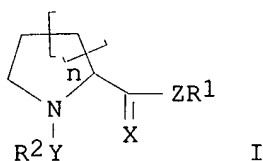
IC ICM A61K007-48

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9855090	A1	19981210	WO 1998-US11237	19980603
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5945441	A	19990831	US 1997-869426	19970604
	ZA 9804621	A	19981204	ZA 1998-4621	19980529
	ZA 9804778	A	19981204	ZA 1998-4778	19980603
	ZA 9804783	A	19981204	ZA 1998-4783	19980603
	AU 9877164	A1	19981221	AU 1998-77164	19980603
	EP 983054	A1	20000308	EP 1998-925148	19980603
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6239164	B1	20010529	US 1999-369860	19990809
PRAI	US 1997-869426	A	19970604		
GI	WO 1998-US11237	W	19980603		



AB This invention relates to pharmaceutical compns. and methods for treating **alopecia** and promoting hair growth using non-immunosuppressive neuroimmunophilin FKBP ligands [I; n = 1, 2, 3; Y = CO, COCO, SO<sub>2</sub>, CS; X = O, S; Z = S, O, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, SCH<sub>2</sub>, S(CH<sub>2</sub>)<sub>2</sub>, S(CH<sub>2</sub>)<sub>3</sub>; R<sub>1</sub> = benzyl, 3-phenylpropyl, 3-(3-pyridyl)propyl, diphenylmethyl, etc.; R<sub>2</sub> = cyclohexyl, Ph, tert-Bu, 3,4,5-trimethoxyphenyl, etc.], stereoisomers, pharmaceutically acceptable salts, esters, and solvates thereof. The title compd. II was tested, in vitro, in inhibition of the peptidyl-prolyl isomerase activity and preferably for use topically to the skin to treat **alopecia** or promote hair growth effectively.

ST carboxylate pyrrolidine prepn **alopecia** treatment

IT **Alopecia**

Drug delivery systems

(prepn. and use of pyrrolidines in hair growth compns.)

IT 186452-09-5P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of pyrrolidines in hair growth compns.)

IT	186268-50-8P	186268-51-9P	186268-52-0P	186268-53-1P	186268-54-2P
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	217186-43-1P	217186-45-3P	217186-46-4P	217186-47-5P	217186-49-7P

KATHLEEN FULLER EIC1700 308-4290

217186-50-0P 217186-51-1P 217186-52-2P 217186-53-3P 217186-54-4P  
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 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of pyrrolidines in hair growth compns.)

IT 86-81-7, 3,4,5-Trimethoxybenzaldehyde 96-15-1, 2-Methylbutylamine  
 103-63-9, 2-(Bromoethyl)benzene 108-98-5, Phenyl mercaptan, reactions  
 122-97-4, 3-Phenyl-1-propanol 558-13-4, Carbontetrabromide 955-40-8  
 1122-82-3, Cyclohexylisothiocyanate 2577-48-2, L-Proline methyl ester  
 2859-67-8, 3-Pyridinepropanol 4830-93-7, 1-Chloro-4-phenylbutane  
 5406-18-8, 3-(p-Methoxyphenyl)-1-propanol 5781-53-3, Methyloxalyl  
 chloride 20329-96-8, Methyl (E)-3,4,5-Trimethoxycinnamate 26250-84-0  
 28276-08-6, 1,1-Dimethylpropylmagnesium chloride 32559-18-5, Methyl  
 piperolate hydrochloride 34592-47-7 53560-26-2  
 RL: RCT (Reactant)

(prepn. and use of pyrrolidines in hair growth compns.)

IT 104-53-0P, 3-Phenyl-1-propanal 17486-86-1P, 1,5-Diphenyl-3-pentanol  
 21011-66-5P 57293-19-3P 69603-49-2P, 3-Pyridinepropanethiol  
 88537-44-4P 89113-44-0P 139419-63-9P 186268-77-9P 186268-78-0P  
 205388-66-5P 205388-67-6P 205388-68-7P 205448-82-4P 210048-37-6P  
 210048-38-7P 210103-98-3P 210103-99-4P 217186-09-9P 217186-59-9P  
 217186-60-2P 217186-61-3P 217186-62-4P 217186-63-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and use of pyrrolidines in hair growth compns.)

RE.CNT 3

- RE  
 (1) Ariad Gene Therapeutics, Inc; WO 9731898 A 1997 HCAPLUS  
 (2) Hamilton, G; US 5614547 A 1997 HCAPLUS  
 (3) The Board of Trustees of the Leland Stanford Junior Univ; WO 9502684 A 1995 HCAPLUS

IT 205448-79-9P 205448-80-2P

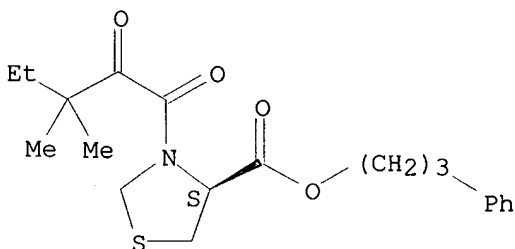
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of pyrrolidines in hair growth compns.)

RN 205448-79-9 HCAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



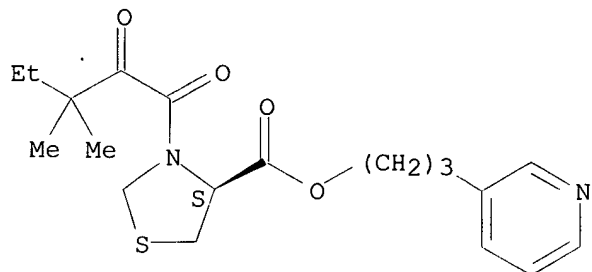
*claim 28*

RN 205448-80-2 HCAPLUS

CN 4-Thiazolidinecarboxylic acid, 3-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Claim 28*